

09/04/2007,10519807c.trn

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PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'HCAPLUS' AT 15:59:34 ON 09 APR 2007
FILE 'HCAPLUS' ENTERED AT 15:59:34 ON 09 APR 2007
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	97.46	269.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.04	-14.04

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	97.46	269.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-14.04	-14.04

FILE 'REGISTRY' ENTERED AT 15:59:46 ON 09 APR 2007
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STRUCTURE FILE UPDATES: 8 APR 2007 HIGHEST RN 929518-97-8
DICTIONARY FILE UPDATES: 8 APR 2007 HIGHEST RN 929518-97-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

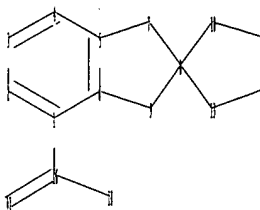
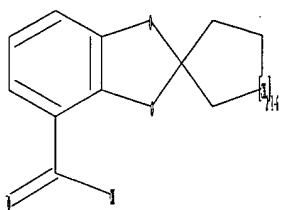
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10519807react.str

09/04/2007,10519807c.trn



chain nodes :
16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
1-16 16-17 16-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 8-13 10-11 11-12 12-13
exact/norm bonds :
5-7 6-9 7-8 8-9 8-10 8-13 10-11 11-12 12-13
exact bonds :
1-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-18

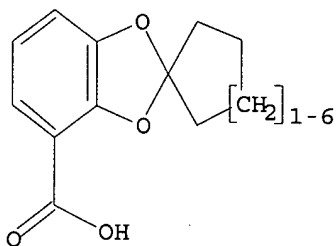
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 16:CLASS 17:CLASS 18:CLASS

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 16:00:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

09/04/2007,10519807c.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 full

FULL SEARCH INITIATED 16:00:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 72 TO ITERATE

100.0% PROCESSED 72 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L7 4 SEA SSS FUL L5

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	441.87
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-14.04

FILE 'HCAPLUS' ENTERED AT 16:00:26 ON 09 APR 2007
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FILE COVERS 1907 - 9 Apr 2007 VOL 146 ISS 16
FILE LAST UPDATED: 8 Apr 2007 (20070408/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

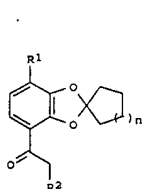
=> s l3/P and l7/ract

3 L3/P
6 L7
2965078 RACT/RL
6 L7/RACT
(L7 (L) RACT/RL)

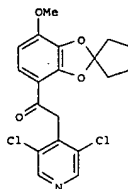
L8 3 L3/P AND L7/RACT

=> d ed abs ibib hitstr 1-3

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
 ED Entered STN: 18 Jan 2004
 GI



I



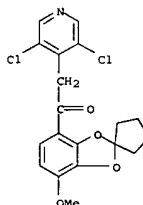
II

AB This invention pertains to a method for producing 1,3-benzodioxole deriva.
 with general formula of I [wherein R1 = OH or (un)substituted alkoxy; R2 =
 (un)substituted (hetero)aryl; n = 1-6]. For example, 2,3,4-trimethoxybenzoic acid was treated with 55% aqueous HI in AcOH to give 2,3-dihydroxy-4-methoxybenzoic acid (73%). The above compound was reacted with 1-methoxycyclopentene in cyclopentanone, followed by the addition of BuLi in DMF in the presence of K2CO3 to provide 7-methoxy-1,3-benzodioxole-2-spirocyclopentane-4-carboxylic acid Bu ester. The ester obtained was reacted with 3,5-dichloro-4-picoline in THF in the presence of LiN(TMS)2 to afford II in 54% total yield. This invention provides a simple method to make 1,3-benzodioxole deriva. in high yields and large scale. I are useful compds. or intermediates as PDE IV inhibitors (no data).

ACCESSION NUMBER: 2004:41458 HCAPLUS
 DOCUMENT NUMBER: 140:111406
 TITLE: Process for preparation of 1,3-benzodioxole derivatives
 INVENTOR(S): Atsumi, Toshiyuki; Yanagisawa, Arata; Chujo, Iwao; Tsunuki, Hiroshi; Mohri, Shinichiro
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 38 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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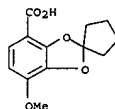
L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

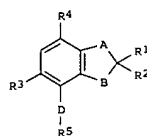
L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
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 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RN: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2491464 A1 20040115 CA 2003-2491464 20030703
 AU 2003252467 A1 20040123 AU 2003-252467 20030703
 EP 1535920 A1 20050601 EP 2003-762875 20030703
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 US 2005245750 A1 20051103 US 2004-519807 20041229
 PRIORITY APPLN. INFO.: JP 2002-194273 A 20020703
 WO 2003-JP8478 W 20030703

OTHER SOURCE(S): CASREACT 140:111406; MARPAT 140:111406
 IT 185407-83-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzodioxole deriva.)
 RN 185407-83-4 HCAPLUS
 CN Spiro[1,3-benzodioxole-2,1'-cyclopentane]-4-carboxylic acid, 7-methoxy- (9CI) (CA INDEX NAME)

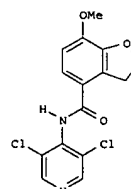


IT 185406-34-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of benzodioxole deriva.)
 RN 185406-34-2 HCAPLUS
 CN Ethanone,
 2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
 ED Entered STN: 13 Sep 2002
 GI



I



II

AB Title compds. I [R1 and R2 independently = H, CN, (un)substituted alkyl, cycloalkyl, polycycloalkyl, alkenyl, etc.; or R1 and R2 are combined to represent a saturated carbon ring together with a carbon atom adjacent thereto; or R2, and R6 or R7 are combined to form a single bond; R3 = H, Ph, or halo; R4 = OH, alkoxy, etc.; A represents (un)substituted methylene or O; B represents O, NR6, (un)substituted methylene or ethylene; D represents (i) -C(R8)(R9)-X- (wherein X represents (un)substituted methylene, S, or (un)substituted N), (ii) -C(R10)=Y- [Y represents -C(R11)-Z- (wherein Z represents CONH, CONHCH2, or a bond), or N], or (iii) a bond; and R5 represents aryl, an aromatic heterocyclic group, cycloalkyl, pyridine-N-oxide, cyano, or lower alkoxy carbonyl; R6 = H, alkyl, cycloalkyl, alkenyl, (un)substituted aryl, etc.; R7 = H, (un)substituted alkyl, alkoxy, alkanoyloxy, etc.; R8 = H, OH, (un)substituted alkyl, cycloalkyl, aryl, aromatic heterocycle, etc.; R9 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; or R8 and R9 combine to form O, S or (un)substituted amine; R10 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; R11 = H, CN, (un)substituted alkyl, cycloalkyl, alkenyl, alkoxy, etc.; or pharmaceutically acceptable salts thereof, are prepared and disclosed as phosphodiesterase 4A (PDE IV) inhibitors. Thus, II was prepared in 48% yield by conversion of 7-methoxy-2,3-dihydrobenzofuran-4-carboxylic acid to the corresponding

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
acid chloride and subsequent amidation with 4-amino-3,5-dichloropyridine.
Assays with recombinant human PDE4A, I demonstrated enzyme inhibitory
activity values of 57-100 (% 10-7M). As inhibitors of PDE IV activity,

I are useful as therapeutic agents for asthma, allergy, rheumatoid
arthritis, psoriasis, myocardial infarction, depression, and the like.

ACCESSION NUMBER: 2002:696660 HCAPLUS

DOCUMENT NUMBER: 137:232641

TITLE: Preparation of benzofuran or benzodioxole derivatives
which possess PDE IV inhibitory activity

INVENTOR(S): Ohshima, Etsuo; Kawakita, Takashi; Yanagawa, Koji;
Iida, Kyoichiro; Koike, Rie; Nakasato, Yoshisuke;
Matsuzaki, Tohru; Ohmori, Kenji; Sato, Soichiro;
Ishii, Hidee; Manabe, Haruhiko; Ichimura, Michio;
Suzuki, Fumio

PATENT ASSIGNEE(S): Japan

SOURCE: U.S. Pat. Appl. Publ., 166 pp., Cont.-in-part of U.
S.

Ser. No. 784,187, abandoned.

CODEN: USXXCO

Patent

English

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002128290	A1	20020912	US 1997-974739	19971119
US 6514996	B2	20030204		
WO 9636624	A1	19961121	WO 1996-JP1327	19960520
W: AU, CA, CN, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE				
CN 1154697	A	19970716	CN 1996-190529	19960520
US 6716987	B1	20040406	US 2001-23091	20011220
PRIORITY APPLN. INFO.:			JP 1995-121537	A 19950519
			JP 1995-258651	A 19951005
			WO 1996-JP1327	A2 19960520
			US 1997-784187	B2 19970115
			JP 1996-307781	A 19961119
			JP 1996-307782	A 19961119
			JP 1996-307783	A 19961119
			JP 1997-268399	A 19971001
			JP 1997-268400	A 19971001
			US 1997-974739	A3 19971119

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 137:232641

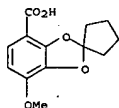
IT 185407-83-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(intermediate; preparation and phosphodiesterase inhibitory activity

of substituted benzofuran and benzodioxoles and analogs thereof)

RN 185407-83-4 HCAPLUS

CN Spiro[1,3-benzodioxole-2,1'-cyclopentane]-4-carboxylic acid, 7-methoxy-
(9CI) (CA INDEX NAME)



IT 185406-35-3P

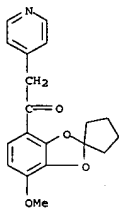
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation and phosphodiesterase inhibitory
activity of substituted benzofuran and benzodioxoles and analogs thereof);

RN 185406-35-3 HCAPLUS

CN Ethanone.

1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)-2-(4-
pyridinyl)- (9CI) (CA INDEX NAME)



IT 185406-34-2P 185406-37-5P 457935-53-4P

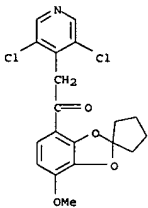
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)

(target compd.; prepn. and phosphodiesterase inhibitory activity of
substituted benzofuran and benzodioxoles and analogs thereof)

RN 185406-34-2 HCAPLUS

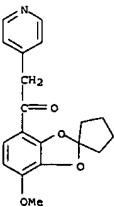
CN Ethanone,
2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-
2,1'-cyclopentane]-4-yl)- (9CI) (CA INDEX NAME)



RN 185406-37-5 HCAPLUS

CN Ethanone.

1-(7-methoxyspiro[1,3-benzodioxole-2,1'-cyclopentane]-4-yl)-2-(4-
pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)



• HCl

RN 457935-53-4 HCAPLUS

CN Ethanone.

2-(3,5-dichloro-4-pyridinyl)-1-(7-methoxyspiro[1,3-benzodioxole-
2,1'-cycloheptane]-4-yl)- (9CI) (CA INDEX NAME)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

